

We claim:

1. A method of identifying compounds that bind to or modulate glutamate transporters, the method comprising

bringing into contact a test compound and a glutamate transporter bound by a receptor compound, and

detecting alteration of binding of the receptor compound bound to the glutamate transporter,

wherein alteration of binding of the receptor compound identifies the test compound as one that binds to or modulates the glutamate transporter.

- 2. The method of claim 1 wherein the receptor compound is an agonist of a glutamate receptor.
- 3. The method of claim 1 wherein the receptor compound is an antagonist of a glutamate receptor.
- 4. The method of claim 1 wherein the receptor compound is a ligand of a glutamate receptor.
- 5. The method of claim 1 wherein the receptor compound is selectively bound to one type of glutamate transporter.
- 6. The method of claims 5 wherein the glutamate transporter is GLAST, GLT1, EAAT1, or EAAT2.
- 7. The method of claim 5 wherein the receptor compound is bound to the glutamate transporter in the presence of a compound with appropriate selectivity.
- 8. The method of claim 5 wherein the receptor compound is bound to the glutamate transporter in the presence of L-dihydrokainate or L-serine-O-sulphate.
- 9. The method of claim 1 wherein the receptor compound is bound to the glutamate transporter in the presence of sodium ion.
- 10. The method of claim 1 wherein the method is performed on a plurality of test compounds.
 - 11. The method of claim 10 wherein the method is automated.
- 12. The method of claim 10 wherein the method is performed on a plurality of test compounds simultaneously, sequentially, or a combination.

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wherein $R^1 = CH_3$ or halogen,

R² and R³ are independently

H, C1-C6-alkyl, C3-C4-alkenyl, C3-C5-cycloalkyl, C1-C6-alkyl-CO-, C1-C6-alkyl-NHCO-, HCO-, or C3-C6-alkynyl

R² and R³ taken together can be -CH2(CH2)pCH2-

14. The method of claim 1 wherein the receptor compound has the structure

wherein

R¹, R², R⁵ and R⁶ are independently

- 1) C1-C6-alkyl,
- 2) C3-C4-alkenyl,
- 3) C3-C5-cycloalkyl;
- 4) H;

 R^3 and R^4 are independently

- 1) H
- 2) C1-C6-alkyl,
- 3) C3-C4-alkenyl,
- 4) C3-C5-cycloalkyl,
- 5) C1-C6-alkyl-CO-

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- 6) C1-C6-alkyl-OCO-
- 7) C1-C6-alkyl-NHCO-
- 8) HCO-, or
- 9) C3-C6-alkynyl;

 R^3 and R^4 taken together can be $-CH_2(CH_2)_nCH_2$ -; n is 0-3.

15. The method of claim 1 wherein the receptor compound has the structure

wherein R = H, C1-C6-alkyl, C3-C4-alkenyl, C3-C5-cycloalkyl, C1-C6-alkyl-CO-, C1-C6-alkyl-NHCO-, HCO-, or C3-C6-alkynyl.

- 16. The method of claim 1 wherein the receptor compound has one of the structures as shown in Figures 6A-I.
- 17. The method of claim 13 wherein the receptor compound is labeled with at least one [³H].
- 18. The method of claim 17 wherein the receptor compound is $[^{3}H]$ -(2S,4R)-4-methylglutamate.
- 19. The method of claim 5 wherein the receptor compound is $[^{3}H]$ -(2S,4R)-4-methylglutamate.
- 20. The method of claim 6 wherein the receptor compound is $[^{3}H]$ -(2S,4R)-4-methylglutamate.
- 21. The method of claim 7 wherein the receptor compound is $[^{3}H]$ -(2S,4R)-4-methylglutamate.
- 22. The method of claim 8 wherein the receptor compound is $[^{3}H]$ -(2S,4R)-4-methylglutamate.
- 23. The method of claim 9 wherein the receptor compound is $[^{3}H]$ -(2S,4R)-4-methylglutamate.
 - 24. The method of claim 17 wherein the method is automated:
- 25. A compound obtained by the of claim 1 wherein the compound binds to or modulates the glutamate transporter.
 - 26. The compound of claim 25 obtained by the method of claim 18.
- 27. A method of using the compound of claim 25 in medicine comprising administering to a mammalian subject a pharmaceutical composition which comprises the compound.